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Docket No.: 5000-0159PUS1  
(PATENT)

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

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In re Patent Application of:  
Thomas GROTE et al.

Application No.: 10/574,083

Confirmation No.: 8727

Filed: March 30, 2006

Art Unit: N/A

For: FUNGICIDAL MIXTURES FOR  
CONTROLLING RICE PATHOGENS

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Examiner: Not Yet Assigned

**LETTER**

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Sir:

Subsequent to the filing of the above-identified application on March 30, 2006, attached hereto is an English Translation of the International Preliminary Report on Patentability issued by the International Bureau on behalf of the International Searching Authority. Please make this document of record for the above-identified application

**Application No.: 10/574,083**

**Docket No.: 5000-0159PUS1**

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or to credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. § 1.16 or under 37 C.F.R. § 1.17; particularly, extension of time fees.

Dated: October 18, 2006

Respectfully submitted,

By 

Attachment(s)

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# PATENT COOPERATION TREATY

PCT/EP2004/011184

EC - Perez  
 NZ - Baldus  
 US - Biron

From the INTERNATIONAL BUREAU

## PCT

NOTIFICATION OF TRANSMITTAL  
 OF COPIES OF TRANSLATION  
 OF THE INTERNATIONAL PRELIMINARY REPORT  
 ON PATENTABILITY  
 (CHAPTER I OR CHAPTER II  
 OF THE PATENT COOPERATION TREATY)  
 (PCT Rules 44bis.3(c) and 72.2)

To:

13. Sep. 2006

BASF AKTIENGESELLSCHAFT  
 67056 Ludwigshafen  
 ALLEMAGNE

1. AST  
 2. REF

Date of mailing (day/month/year)

08 September 2006 (08.09.2006)

Applicant's or agent's file reference

0000054954

### IMPORTANT NOTIFICATION

International application No.

PCT/EP2004/011184

International filing date (day/month/year)

07 October 2004 (07.10.2004)

Applicant

BASF AKTIENGESELLSCHAFT et al

EC: Phase beendet 13.03.2006

#### 1. Transmittal of the translation to the applicant.

☐

The International Bureau transmits herewith a copy of the English translation of the international preliminary report on patentability (Chapter I).

☒

The International Bureau transmits herewith a copy of the English translation of the international preliminary report on patentability (Chapter II).

#### 2. Transmittal of the copy of the translation to the designated or elected Offices.

The International Bureau notifies the applicant that copies of that translation have been transmitted to the following designated or elected Offices requiring such translation:

KR

The following designated or elected Offices, having waived the requirement for such a transmittal at this time, will receive copies of that translation from the International Bureau only upon their request:

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#### 3. Reminder regarding translation into (one of) the official language(s) of the elected Office(s).

The applicant is reminded that, where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary report on patentability (Chapter II).

It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned within the applicable time limit (Rule 74.1). See Volume II of the PCT Applicant's Guide for further details.

The International Bureau of WIPO  
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**TRANSLATION**

**PATENT COOPERATION TREATY**

**PCT**

**INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>0000054954</b>	<b>FOR FURTHER ACTION</b>	See Form PCT/IPEA/416
International application No. <b>PCT/EP2004/011184</b>	International filing date (day/month/year) <b>07.10.2004</b>	Priority date (day/month/year) <b>09.10.2003</b>
International Patent Classification (IPC) or national classification and IPC <b>A01N43/90</b>		
Applicant <b>BASF AKTIENGESELLSCHAFT</b>		

1.	This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.	
2.	This REPORT consists of a total of <u>11</u> sheets, including this cover sheet.	
3.	This report is also accompanied by ANNEXES, comprising:	
a.	<input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows: <input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.	
b.	<input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____ containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).	
4.	This report contains indications relating to the following items:	
	<input checked="" type="checkbox"/> Box No. I	Basis of the report
	<input type="checkbox"/> Box No. II	Priority
	<input type="checkbox"/> Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
	<input type="checkbox"/> Box No. IV	Lack of unity of invention
	<input checked="" type="checkbox"/> Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
	<input type="checkbox"/> Box No. VI	Certain documents cited
	<input type="checkbox"/> Box No. VII	Certain defects in the international application
	<input type="checkbox"/> Box No. VIII	Certain observations on the international application

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/EP2004/011184

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language \_\_\_\_\_ which is the language of a translation furnished for the purposes of:
- ☐ international search (Rule 12.3 and 23.1(b))
- ☐ publication of the international application (Rule 12.4)
- ☐ international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the elements of the international application, this report is based on (replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):
- ☐ the international application as originally filed/furnished
- ☒ the description:
- pages 1-12 \_\_\_\_\_ as originally filed/furnished
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☒ the claims:
- nos. 1-10 \_\_\_\_\_ as originally filed/furnished
- nos.\* \_\_\_\_\_ as amended (together with any statement) under Article 19
- nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the drawings:
- sheets \_\_\_\_\_ as originally filed/furnished
- sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (specify): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (specify): \_\_\_\_\_
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (specify): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (specify): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

## 1. Statement

Novelty (N)	Claims <u>1-10</u>	YES
	Claims _____	NO
Inventive step (IS)	Claims _____	YES
	Claims <u>1-10</u>	NO
Industrial applicability (IA)	Claims <u>1-10</u>	YES
	Claims _____	NO

## 2. Citations and explanations (Rule 70.7)

Reference is made to the following documents  
(D1-D7) that are cited in the international search  
report:

D1: EP-A-0 988 790

D2: WO 98/46607 A

D3: US-A-4 331 670

D4: US-A-5 593 996

D5: US-B1-6 268 371

D6: AKAGI TOSHIO ET AL: "Quantitative structure-  
activity relationships of fluazinam and  
related fungicidal N-phenylpyridinamines:  
Preventive activity against Sphaerotheca  
fuliginea, Pyricularia oryzae and Rhizoctonia  
solani" JOURNAL OF PESTICIDE SCIENCE,  
Vol. 21, No. 1, 1996, pages 23-29,  
XP008043156 ISSN: 0385-1559

D7: US-A-6 100 261

**Novelty**

The subject matter of claims 1-10 is novel (PCT  
Article 33(1) and (2)).

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Box No. V

Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

Independent claim 1 concerns fungicidal mixtures for combating rice pathogens, which contain fluazinam, an active ingredient from the class of N-pyridylanilines, and a specific fungicidal triazolopyrimidine (hereinafter TP1) in a synergistically active quantity. The remaining independent claims, claims 4, 9 and 10, are directed, respectively, to a method for combating rice pathogenic fungi using that type of mixture, to seeds which result from such a method and contain that type of mixture, and to the use of the two compounds for producing means for combating rice pathogenic fungi.

None of the cited documents discloses the specific mixtures that are the subject of the current application.

D1 discloses (see the passages that are cited in the international search report) synergistic mixtures of triazolopyrimidines of a general formula, also including TP1, with other fungicides, also including fluazinam. The preferred azolopyrimidines A, B and C (hereinafter TPa, TPb and TPc) that are used in the examples are the 6-(2-Cl-6-F-phenyl)-, the 7-(2,2,2-trifluorethylamino)- and the 7-(1,1,1-trifluoropropyl-2-yl-amino) analogues of TP1. TPa and TPc are the comparative compounds A and B of the current application. One example (D1, example 14) uses TPc together with fluazinam.

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D2 specifically discloses (see the passages cited in the international search report), *inter alia*, the compound TP1 (example compound 2). The compound was compared to TPa with respect to its effect on mildew on grapes and was found to be superior thereto. The possibility of mixing with other fungicides, also including fluazinam, so as to achieve a synergistic effect under certain circumstances is mentioned but was not carried out.

D3 discloses (see the passages cited in the international search report) specific N-pyridylanilines, including fluazinam (compound 7), as, *inter alia*, fungicides.

D4 discloses (see the passages cited in the international search report) specific fungicidal triazolopyrimidines, including TPa. D4 demonstrates the effect thereof in combating *Pyricularia oryzae* on rice (see D4, examples 225 and 226).

D5 discloses (see the passages cited in the international search report) synergistic mixtures of, *inter alia*, triazolopyrimidines which are known from D4 with melanin biosynthesis inhibitors such as carpropamid, pyroquilon and fenoxanil. These mixtures are particularly effective against rice pathogens (*Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*, which cause



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brown spot disease). The preferred compounds described in D5 as azolopyrimidines A, C and D are the above-mentioned TPa, TPb and TPc.

D6 looks at (see the passages cited in the international search report) the structure-activity relationship of N-pyridylanilines, such as fluazinam, with regard to the effect thereof on the pathogens *Pyricularia oryzae* and *Rhizoctonia solani* on rice and on *Sphaerotheca fuliginea* on cucumbers, and suggests mitochondrial respiration decoupling as the main active mechanism in combating those pathogens.

Finally, D7 discloses (see the passages cited in the international search report) synergistic mixtures of fungicidal acrylmorpholides, preferably dimethomorph, with N-pyridylanilines, preferably fluazinam, in particular for combating oomycetes.

**Inventive step**

The subject matter of claims 1-10 does not involve an inventive step (PCT Article 33(1) and (3)).

In the light of the description and the closest prior art in document D1, the application can be considered to address the problem of preparing synergistic mixtures of triazolopyrimidines with other fungicides that are suitable for combating rice pathogens, that is that combine a high

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	<p>systemic and a high level of effectiveness against pathogens such as <i>Pyricularia oryzae</i>, <i>Rhizoctonia solani</i> and <i>Cochliobolus miyabeanus</i>.</p> <p>The proposed solution is characterised by the use of the specific triazolopyrimidine TP1 in combination with fluazinam.</p> <p>In view of the aforementioned prior art, such a combination is an obvious solution to the problem of interest.</p> <p>D1 already proposes mixtures of triazolopyrimidines of a general formula, which covers TPa, TPb and TPc as well as TP1, with fluazinam. D1 specifically discloses mixtures with the triazolopyrimidine TPc. D1 does not expressly mention using the mixture to combat rice pathogens. However, the triazolopyrimidines of the general formula are known from document D4 to be effective against rice pathogens; D4 demonstrates, for example, the effectiveness of TPa (compound 139 in D4), which is used as a comparative substance in the current application, against <i>Pyricularia oryzae</i> (see example 226). D5 (see above) discloses synergistic mixtures of triazolopyrimidines, again including TPa and the other comparative substance used in the current application, TPc, with other fungicides. These mixtures are particularly effective against rice pathogens such as <i>Pyricularia oryzae</i>, <i>Rhizoctonia solani</i> and <i>Cochliobolus miyabeanus</i>.</p>

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It is also known, for example from documents D3 and D6, that fluazinam is particularly effective against rice pathogens.

D3 demonstrates the effectiveness of fluazinam against the rice pathogens *Pyricularia oryzae* and *Rhizoctonia solani*, it being shown that fluazinam is more effective, for example, than an already known pyridylaniline (see D3, table 2).

D6 shows that fluazinam is highly effective or effective against *Pyricularia oryzae* and *Rhizoctonia solani* on rice (see D6, tables 1 and 2).

A person skilled in the art could therefore expect that the mixture of TPc and fluazinam that is known from D1, but which is shown in the examples therein (example 14) only to be effective against *Puccinia recondita* on wheat, would also be suitable for combating rice pathogens and would therefore provide a solution to the problem of interest defined above.

In addition, however, D2 expressly emphasises that the 6-(2,4,6-trifluoropenyl)-triazolopyrimidines (such as TP1) disclosed therein have an increased systemic and fungitoxic effect against rice pathogens in relation to the triazolopyrimidines (such as TPa and TPc) known from D4 (see D2, page 7, lines 9-11). The examples show the high

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	<p>D4] "These compounds are said to be active against fungi which are members of the ascomycetes class such as <i>Venturia inaequalis</i> and of the hypomycetes such as <i>Alternaria solani</i> and <i>Botrytis cinerea</i>. However, there is no single compound in which R<sup>3</sup> is a 2,4,6-trifluorophenyl group." [the latter is a characterising feature of the compounds according to D2] and [with reference to the compounds as per D2] "They are superior through their valuable fungicidal properties, in particular their enhanced systemicity and <b>enhanced fungicitoxy</b> [sic] <b>against rice diseases</b> and powdery mildew." [highlighting added].</p> <p>The prior art thus points a person skilled in the art to the invention. A person skilled in the art had and has no reason to doubt the fundamental correctness of the information in D2 and this in particular in relation to a compound (example compound 2 = TP1) which biological tests in D2 show is even (together with a few others) the most effective of the compounds disclosed in D2 (see table II, column "PYRIOR") against a significant rice pathogen.</p> <p>The additional features specified in the dependent claims, such as the quantity ratios and the quantity applied, are common in the field and therefore cannot make any inventive contribution.</p>

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**Industrial applicability**

The subject matter of claims 1-10 is considered  
industrially applicable (PCT Article 33(1)  
and (4))